10/513699

No/B90 707

Connecting Via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSPTAEAL1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
      1
                 LMEDLINE coverage updated
NEWS
      2
         JUL 02
                 SCISEARCH enhanced with complete author names
NEWS
      3
         JUL 02
NEWS
     4
         JUL 02
                 CHEMCATS accession numbers revised
NEWS
     5
         JUL 02
                 CA/CAplus enhanced with utility model patents from China
     6 JUL 16
                 CAplus enhanced with French and German abstracts
NEWS
     7
         JUL 18
                 CA/CAplus patent coverage enhanced
NEWS
         JUL 26
                 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS
    8
NEWS 9 JUL 30
                 USGENE now available on STN
NEWS 10 AUG 06
                 CAS REGISTRY enhanced with new experimental property tags
NEWS 11
        AUG 06
                 BEILSTEIN updated with new compounds
NEWS 12
         AUG 06
                 FSTA enhanced with new thesaurus edition
         AUG 13
                 CA/CAplus enhanced with additional kind codes for granted
NEWS 13
                 patents
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 14
         AUG 20
NEWS 15
         AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
NEWS 16
         AUG 27
                 USPATOLD now available on STN
         AUG 28
                 CAS REGISTRY enhanced with additional experimental
NEWS 17
                 spectral property data
NEWS 18
         SEP 07
                 STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
NEWS 19
         SEP 13
                 FORIS renamed to SOFIS
NEWS 20
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
NEWS 21
         SEP 17
                 CA/CAplus enhanced with printed CA page images from
                 1967-1998
NEWS 22
         SEP 17
                 CAplus coverage extended to include traditional medicine
                 patents
              19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
NEWS EXPRESS
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
NEWS LOGIN
              Welcome Banner and News Items
              For general information regarding STN implementation of IPC 8
NEWS IPC8
```

Enter NEWS followed by the item number or name to see news on that

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation

specific topic.

of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 13:54:18 ON 19 SEP 2007

=>

=> file reg

SINCE FILE TOTAL ENTRY SESSION 5.46 5.46

COST IN U.S. DOLLARS FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:09:32 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10590707.str

L1 STRUCTURE UPLOADED

=> .d 11

L1 HAS NO ANSWERS

'L1 STR

G1 H, NH2, Cb, Ak

G2 C, H, Ak

G3 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 14:10:35 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4898 TO ITERATE

100.0% PROCESSED 4898 ITERATIONS SEARCH TIME: 00.00.01

152 ANSWERS

152 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

172.55 178.01

FILE 'CAPLUS' ENTERED AT 14:10:41 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 12 full

L3 3 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:729635 CAPLUS

DOCUMENT NUMBER: 147:72778

TITLE: Preparation of quinazolinone derivatives and related

analogs as antiproliferative agents

INVENTOR(S): Bergnes, Gustave

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PA | PATENT NO. | | | | | D | DATE | | ; | APPL: | ICAT: | ION 1 | DATE | | | | |
|---------|--------------------------------|------|-----|-----|-------------|------------------|------|------|------|-------|-------|-------|------------|-----|-----|------|-----|
| | WO 2004018058 WO 2004018058 | | | | | | | 1 | WO 2 | 003-1 | JS26 | | 20030820 | | | | |
| . WO | | | | | | | 2004 | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | ΑU, | AZ, | BA, | BB, | ВG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| • | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NI, | NO, | NZ, | OM, |
| | | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ТJ, | TM, | TN, |
| | | | | | | | US, | | | | | | | | | | |
| | RW: | | | | | | MZ, | | | | | | | | AM, | ΑZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | ΝĿ, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| AU | AU 2003262747 | | | | A1 20040311 | | | | | AU 2 | 003- | 2627 | 20030820 | | | | |
| EP | 1539 | 180 | | | A2 | | 2005 | 0615 | | EP 2 | 003- | 7931 | 79 | | 2 | 0030 | 820 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | ΑL, | TR, | BG, | .CZ, | EE, | HU, | SK | |
| JP | 2005 | 5365 | 53 | | Т | | 2005 | 1202 | 1 | JP 2 | 004- | 5311 | 41 | | 2 | 0030 | 820 |
| PRIORIT | PRIORITY APPLN. INFO.: | | | . : | | | | 1 | US 2 | 002- | 4048 | | P 20020821 | | | | |
| | | | | | | | | | | WO 2 | | | | | | 0030 | |
| OTHER S | OTHER SOURCE(S): | | | | | MARPAT 147:72778 | | | | | | | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-4 independently = H, OH, (un) substituted alkyl, etc.; R5 = H, (un) substituted alkyl, aryl, or aralkyl; R6 and R9 independently = H, (un) substituted alkyl, aryl, etc.; R7 = (un) substituted alkyl, aryl or aralkyl; R8 = H, (un) substituted alkyl, aryl or aralkyl; n = 1 or 2], and their pharmaceutically acceptable salts, are prepared and disclosed as antiproliferative agents by modulation of KSP (a mitotic kinesin) activity. Thus, e.g., II was prepared by substitution of 3-benzyl-2-(1-bromopropyl)-7-chloro-3H-quinazolin-4-one with 3-p-tolylpiperazine-1-carboxylic acid tert-Bu ester. Bioassays are described and the compds. of the invention were stated to show activity.

GI

941712-02-3P IT

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of quinazolone derivs. and related analogs as antiproliferative agents)

941712-02-3 CAPLUS RN

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-[4-[4-(1-methylethyl)-2-pyridinyl]-1piperazinyl]propyl]-3-(phenylmethyl)- (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:979639 CAPLUS

DOCUMENT NUMBER:

143:286443

TITLE:

Preparation of pyrimidine derivatives as 5-HT3

receptor antagonists having agonistic activity on

5-HT1A

INVENTOR(S):

Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto,

Yoshiko; Yamamoto, Norio; Ogawa, Chisato

PATENT ASSIGNEE(S):

SOURCE:

Teikoku Hormone Mfg. Co., Ltd., Japan

PCT Int. Appl., 261 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| . P | PATENT NO. | | | | KIND | | DATE | | APPLICATION NO. | | | | | | DATE | | | | |
|-----|-----------------|------|-----|-------------|----------|-----|----------------|----------------|-----------------|-----|------|-------|----------|----------|----------|-----|------|-----|----|
| W | WO 2005082887 | | | | A1 | _ | 20050909 | | WO 2005-JP3691 | | | | | | 20050225 | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AΤ, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | · ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NA, | NI, | |
| | | | NO, | ΝZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | ŬĠ, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | zw |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | | EE, | ES, | FI, | FR, | ·GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | |
| | | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | | |
| Αl | AU 2005217320 A | | | A1 | 20050909 | | | AU 2005-217320 | | | | | | 20050225 | | | | | |
| C | A : | 2557 | 541 | | | A1 | | 2005 | 0909 | (| CA 2 | 005-3 | 2557 | 541 | | 20 | 0050 | 225 | |
| E | EP 1724267 | | | A1 20061122 | | | EP 2005-719969 | | | | | | 20050225 | | | | | | |
| | | R: | AT, | ΒĒ, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | | IS, | IT, | LI, | LT, | LU, | MC, | ΝL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | | |

20070228 CN 2005-80005603 20050225 CN 1922171 Д US 2006-590707 20060825 US 2007197551 A1 20070823 . PRIORITY APPLN. INFO.: ' JP 2004-52040 20040226 JP 2004-322858 Α 20041105 WO 2005-JP3691 20050225

OTHER SOURCE(S): MARPAT 143:286443

GI

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrimidine derivs. as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A for treatment of anxiety, depression, etc.)

RN 864386-59-4 CAPLUS

CN Spiro[1,3-dioxolane-2,6'(5'H)-quinazolin]-4'(3'H)-one, 3'-amino-7',8'-dihydro-2'-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

RN 864386-62-9 CAPLUS

CN 4,6-Quinazolinedione, 3-amino-3,5,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

```
864385-95-5P 864385-96-6P 864385-97-7P
TT
     864385-98-8P 864385-99-9P 864386-00-5P
     864386-01-6P 864386-02-7P 864386-03-8P
     864386-04-9P 864386-05-0P 864386-06-1P
     864386-07-2P 864386-08-3P 864386-09-4P
     864386-10-7P 864386-11-8P 864386-13-0P
     864386-14-1P 864386-15-2P 864386-16-3P
     864386-18-5P 864386-19-6P 864386-20-9P
     864386-21-0P 864386-22-1P 864386-23-2P
     864386-25-4P 864386-26-5P 864386-27-6P
     864386-28-7P 864386-30-1P 864386-31-2P
     864386-32-3P 864386-34-5P 864386-35-6P
     864386-37-8P 864386-38-9P 864386-39-0P
     864386-40-3P 864386-41-4P 864386-45-8P
     864386-46-9P 864386-47-0P 864386-49-2P
     864386-50-5P 864386-52-7P 864386-53-8P
     864386-54-9P 864386-55-0P 864386-56-1P
     864386-57-2P 864386-58-3P 864386-63-0P
     864386-64-1P 864386-76-5P 864386-77-6P
     864386-78-7P 864386-79-8P 864386-80-1P
     864386-81-2P 864386-82-3P 864386-83-4P
     864386-84-5P 864386-85-6P 864386-86-7P
     864386-87-8P 864386-88-9P 864386-89-0P
     864386-90-3P 864386-91-4P 864386-92-5P
     864386-93-6P 864386-95-8P 864386-96-9P
     864386-97-0P 864386-99-2P 864387-00-8P
     864387-01-9P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A for treatment of anxiety, depression, etc.)

RN 864385-95-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864385-96-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(4-methyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864385-97-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864385-98-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(4-methyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864385-99-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(3-methyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ N & & \\ & & \\ & & \\ Me & & \\ \end{array}$$

RN 864386-00-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(3,4-dimethyl-2-quinolinyl)-1-piperazinyl]butyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

$$NH_2$$
 NH_2
 NH_2

RN 864386-01-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2,3-dihydro-1H-cyclopenta[c]quinolin-4-yl)-1-piperazinyl]butyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

RN 864386-02-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(7,8,9,10-tetrahydro-6-phenanthridinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-03-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(3,4-dimethyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-04-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2,3-dihydro-1H-cyclopenta[c]quinolin-4-yl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-05-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(7,8,9,10-tetrahydro-6-phenanthridinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-06-1 CAPLUS

CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-amino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-07-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-(4-pyrrolo[1,2-a]quinoxalin-4-yl-1-piperazinyl)butyl]- (CA INDEX NAME)

RN 864386-08-3 CAPLUS

CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-amino-2-[4-(4-pyrrolo[1,2-a]quinoxalin-4-yl-1-piperazinyl)butyl]- (CA INDEX NAME)

RN 864386-09-4 CAPLUS

4(3H)-Quinazolinone, 3-amino-7-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

CN

$$H_2N$$
 N
 N
 $C1$

RN 864386-10-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-bromo-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-11-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6,7,8-trimethoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 864386-13-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-14-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-15-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

1-1

$$H_2N$$
 N
 $CH_2)_4$
 N

RN 864386-16-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(5-methoxy-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-18-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864386-19-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

<12/04/2007>

Erich Leese

RN 864386-20-9 CAPLUS

CN Benzo[g]quinazolin-4(3H)-one, 3-amino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-21-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-fluoro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 N
 N
 $CH_2)_4$
 N
 N

RN 864386-22-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5-hydrazino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 $(CH_2)_4$
 N

RN 864386-23-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-7-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 N
 $CH_2)_4$
 N
 Me

RN 864386-25-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(5-methoxy-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-26-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-6-phenyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-27-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(4,8-dimethyl-2-quinolinyl)-1-piperazinyl]butyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864386-28-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(4,8-dimethyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-30-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-8-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 N
 N
 $CH_2)_4$
 N
 M
 M

RN 864386-31-2 CAPLUS

CN Benzo[g]quinazolin-4(3H)-one, 3-amino-5,5a,6,7,8,9,9a,10-octahydro-8-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 864386-32-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-5,7-dimethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & &$$

RN 864386-34-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-methoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864386-35-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6,7-dimethoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864386-37-8 CAPLUS

CN 5,8-Imino-4H-cycloheptapyrimidin-4-one, 3-amino-3,5,6,7,8,9-hexahydro-10-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{(CH}_2)_4 \\ \hline \\ H_2N & \text{N} \end{array}$$

RN 864386-38-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-39-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[3-[4-(2-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864386-40-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[2-[4-(2-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-41-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[5-[4-(2-quinolinyl)-1-piperazinyl]pentyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 864386-45-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-46-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-methoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 864386-47-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-7-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864386-49-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-fluoro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-50-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-7-fluoro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 864386-52-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-7-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-53-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-6-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-54-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-ethyl-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-55-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-6,7-dimethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 864386-56-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-(1,1-dimethylethyl)-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-57-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-5,7,7-trimethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-58-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2-benzothiazolyl)-1-piperazinyl]butyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

RN 864386-63-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-6-hydroxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-64-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-6-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-76-5 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-77-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-ethyl-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-78-7 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3-propyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-79-8 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3-(phenylmethyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-80-1 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3-methyl-2-[3-[4-(2-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)

Me N (
$$CH_2$$
) 3

RN 864386-81-2 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3-methyl-2-[4-[4-(4-methyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-82-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-ethyl-5,6,7,8-tetrahydro-2-[4-[4-(4-methyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-83-4 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-2-[4-[4-(4-methyl-2-quinolinyl)-1-piperazinyl]butyl]-3-(phenylmethyl)- (CA INDEX NAME)

Me Ph-CH₂ N (CH₂)
$$_4$$
 N

RN 864386-84-5 CAPLUS

CN 4(3H)-Quinazolinone, 2-[4-[4-(2-benzothiazolyl)-1-piperazinyl]butyl]-5,6,7,8-tetrahydro-3-methyl- (CA INDEX NAME)

RN 864386-85-6 CAPLUS

CN 4(3H)-Quinazolinone, 2-[4-[4-(2-benzothiazolyl)-1-piperazinyl]butyl]-3-ethyl-5,6,7,8-tetrahydro- (CA INDEX NAME)

RN 864386-86-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[4-[4-(2-benzothiazolyl)-1-piperazinyl]butyl]-5,6,7,8-tetrahydro-3-(phenylmethyl)- (CA INDEX NAME)

$$N$$
 CH_2-Ph
 CH_2-Ph

RN 864386-87-8 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3,6-dimethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-88-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-ethyl-5,6,7,8-tetrahydro-6-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-89-0 CAPLUS

CN 4(3H)-Quinazolinone, 5,6,7,8-tetrahydro-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]pentyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{N} & \text{CH- (CH}_2)_3 \\ & \text{N} & \text{N} \end{array}$$

RN 864386-90-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-(1-methylethyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-91-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 864386-92-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-methoxyphenyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl].butyl]- (CA INDEX NAME)

$$(CH_2)_4 - N$$

$$N - R$$

$$O$$

RN 864386-93-6 CAPLUS

CN 4(3H)-Quinazolinone, 5-chloro-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-95-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-(CA INDEX NAME)

RN 864386-96-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-ethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-97-0 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-99-2 CAPLUS

CN 4(3H)-Quinazolinone, 3,7-dimethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864387-00-8 CAPLUS

CN 4(3H)-Quinazolinone, 6-chloro-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

Me N C1
$$N - (CH_2)_4$$
 N

RN 864387-01-9 CAPLUS

CN 4(3H)-Quinazolinone, 6-bromo-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

Me N Br
$$(CH_2)_4$$
 N

IT 864387-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A for treatment of anxiety, depression, etc.)

RN 864387-19-9 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3-amino-3,5,7,8-tetrahydro-4-oxo-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS 11 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 3 OF 3

ACCESSION NUMBER:

2002:465983 CAPLUS

DOCUMENT NUMBER:

137:47214

TITLE:

Preparation of 2-substituted-4(3H)-quinazolinone

derivatives as PARP inhibitors

INVENTOR (S):

Matsuoka, Nobuya; Iwashita, Akinori; Yamazaki, Shunji; Miyake, Hiroshi; Ohkubo, Mitsuru; Kamijo, Kazunori; Nakanishi, Isao; Hattori, Kouji; Kido, Yoshiyuki;

Ishida, Junya; Yamamoto, Hirofumi

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

PCT Int. Appl., 91 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| F | PATENT NO. | | | | | | | | | | APP | LICA | TION. | DATE | | | | | | |
|------------------------|----------------|------|-----|-----|-----|----------|-----|----------------|------|-------------------|-----|------|-------|----------|------|----------|------|------|--|--|
| - V | | | | | | A1 20020 | | | | 0 WO 2001-JP10601 | | | | | | 20011205 | | | | |
| | | | | | | | | | | | | | | BY, | | | | | | |
| | | | | | | | | | | | | | | FI, | | | | | | |
| | | | | | | | | | | | | | | KZ, | | | | | | |
| | | | | | | | | | | | | | | NO, | | | | | | |
| | | | | | | | | | | | | | | TR, | | | | | | |
| | | | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW, | AM, | AZ | , BY | , KG | KZ, | MD, | RU, | ТJ, | TM | | |
| | | RW: | | | | | | | | | | | | ZM, | | | | | | |
| | | | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE | , II | LU, | MC, | NL, | PT, | SE, | .TR, | | |
| | | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ | , GW | , ML | MR, | NE, | SN, | TD, | TG | | |
| | CA | 2431 | 406 | | - | A1 | | 2002 | 0620 | | CA | 2001 | -243 | 1406 | | 2 | 0011 | 205 | | |
| | AU .2002021047 | | | | | | | | | | | | | 20011205 | | | | | | |
| | EP 1355888 | | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | LU, | | | | | | |
| | | | | | | | | RO, | | | | | | | | | | | | |
| i | JP | 2004 | | | | | | | | | | | | 548 | | 2 | 0011 | 205 | | |
| | | | | | | | | US 2003-433947 | | | | | | | | | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | | | | | | 5 | | | 0001 | 211 | | | | |
| | | | | | | | | | | | WO | 2001 | -JP1 | 0601 | 1 | w 2 | 0011 | 205 | | |
| OTHER SOURCE(S): | | | | | | MAR | PAT | 137: | 4721 | 4 | | | | | | | | | | |
| GI | | | • | | | | | | | | | | | | | | | | | |

Erich Leese

$$(R^2)_n$$
 N
 N
 R^1

AB Title compds. I [R1 = (un) substituted cyclic amino group(s); R2 = substituent; n = 0-4; L = alkylene, alkenylene] were prepared For instance, 2-amino-6-chlorobenzamide was coupled to 4-pentenoyl chloride (THF, i-PrNEt2, 5°C, 30 min) and the product treated with 1N NaOH to afford 2-(3-butenyl)-5-chloro-4(3H)-quinazolinone. This intermediate was oxidatively cleaved (dioxane, OsO4, t-BuOH; NaIO4) effecting cyclization to 8-chloro-1-hydroxy-2,3-dihydropyrrolo[2,1-b]quinazoline-9(1H)-one isolated as a colorless powder. This was used to alkylate 1,2,3,6-tetrahydro-4-phenylpyridine (CH3CNaq, HOAc, NaCNBH3) to afford II. Selected compds. of the invention had IC50 < 0.5 μM for poly(ADP-ribose)polymerase (PARP). I are useful for the treatment of NMDA- and NO-induced toxicity, tissue damage resulting from apoptosis,

TT 437997-62-1P 437997-63-2P 437997-64-3P 437997-65-4P 437997-66-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of 2-[ω -substituted(hetero)aryl-alkyl]substituted-4(3H)-quinazolinone derivs.)

RN 437997-62-1 CAPLUS

CN 4(1H)-Quinazolinone, 2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$(CH2)3 - N N$$

RN 437997-63-2 CAPLUS

CN 4(1H)-Quinazolinone, 8-chloro-2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & H & N & N \\
N & N & N & N
\end{array}$$

RN 437997-64-3 CAPLUS

CN 4(1H)-Quinazolinone, 2-[3-[4-(4-pyridinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$(CH2)3 - N$$

RN 437997-65-4 CAPLUS

CN 4(1H)-Quinazolinone, 8-chloro-2-[3-[4-(4-pyridinyl)-1-piperazinyl]propyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & & & \\
N & & \\$$

RN 437997-66-5 CAPLUS

CN 4(1H)-Quinazolinone, 2-[3-(4-pyrazinyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

$$(CH_2)_3 - N N$$

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

23.33 201.34

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

-2.34 -2.34

FILE 'REGISTRY' ENTERED AT 14:20:22 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10590707piperidine.str

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

G1 H, NH2, Cb, Ak

G2 C, H, Ak

G3 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s 14 full

FULL SEARCH INITIATED 14:20:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1996 TO ITERATE

100.0% PROCESSED 1996 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L5

1 SEA SSS FUL L4

| => file caplus | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| • | ENTRY | SESSION |
| FULL ESTIMATED COST | 172.10 | 373.44 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -2.34 |

FILE 'CAPLUS' ENTERED AT 14:20:55 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

```
FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13
FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:
http://www.cas.org/infopolicy.html
=> d ibib abs hitstr
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y
'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
The following are valid formats:
Substance information can be displayed by requesting individual
fields or predefined formats. The predefined substance formats
are: (RN = CAS Registry Number)
      - RN
REG
      - Index Name, MF, and structure - no RN
SAM
     - All substance data, except sequence data
      - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
      - Protein sequence data, includes RN
     - Same as SQD, but 3-letter amino acid codes are used
SQD3
     - Protein sequence name information, includes RN
SON
CALC
       - Table of calculated properties
EPROP - Table of experimental properties
       - EPROP and CALC
Any CA File format may be combined with any substance format to
obtain CA references citing the substance. The substance formats
must be cited first. The CA File predefined formats are:
ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL
IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
```

SBIB ----- BIB, no citations

SIBIB ----- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.

The MAX format is the same as ALL.

The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields. HELP FORMATS -- To see detailed descriptions of the predefined formats. ENTER DISPLAY FORMAT (IDE):.

- L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
- RN 864386-38-9 REGISTRY
- ED Entered STN: 03 Oct 2005
- CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-amino-5,6,7,8-tetrahydro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)
- MF C24 H31 N7 O
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 14 full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 14:21:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1996 TO ITERATE

100.0% PROCESSED 1996 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

1 SEA SSS FUL L4

L7

1 L6

```
=> s 17 full
L8
             1 L6
=> d ibib abs
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
1.8
ACCESSION NUMBER:
                         2005:979639 CAPLUS
DOCUMENT NUMBER:
                         143:286443
                         Preparation of pyrimidine derivatives as 5-HT3
TITLE:
                         receptor antagonists having agonistic activity on
                         5-HT1A
                         Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira;
INVENTOR(S):
                         Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru;
                         Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto,
                         Yoshiko; Yamamoto, Norio; Ogawa, Chisato
                         Teikoku Hormone Mfg. Co., Ltd., Japan
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 261 pp.
SOURCE:
                         CODEN: PIXXD2
                         Patent
DOCUMENT TYPE:
                         Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                  DATE
                                           ______
     ______
                         _ _ _ _
                                -----
                                          WO 2005-JP3691
                                20050909
                                                                   20050225
     WO 2005082887
                         A1
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     AU 2005217320
                                20050909
                                            AU 2005-217320
                                                                   20050225
                          A1
     CA 2557541
                                20050909
                                            CA 2005-2557541
                                                                   20050225
                          A1
     EP 1724267
                                            EP 2005-719969
                                                                   20050225
                          A1
                                20061122
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                            CN 2005-80005603
                          Α
                                20070228
                                                                   20050225
     CN 1922171
                                            US 2006-590707
                                                                   20060825
     US 2007197551
                          A1
                                20070823
                                            JP 2004-52040
PRIORITY APPLN. INFO.:
                                                               A 20040226
                                            JP 2004-322858
                                                               A 20041105
                                            WO 2005-JP3691
                                                                W
                                                                   20050225
```

MARPAT 143:286443

OTHER SOURCE(S):

GΙ

$$-C \stackrel{N}{=} B$$

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 AB = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given. REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| => file reg | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 4.24 | 553.12 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -0.78 | -3.12 |

FILE 'REGISTRY' ENTERED AT 14:23:13 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when

conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10590707ix.str

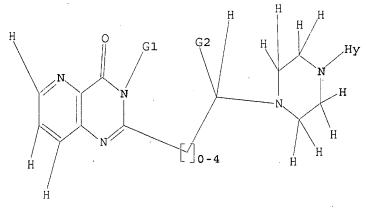
L9 STRUCTURE UPLOADED

-> . a :

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 H, NH2, Cb, Ak

G2 C, H, Ak

G3 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s 19 full

FULL SEARCH INITIATED 14:40:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 218 TO ITERATE

100.0% PROCESSED

218 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L10

2 SEA SSS FUL L9

=> file caplus

COST IN U.S. DOLLARS ' SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 185.15 738.27 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -3.12

<12/04/2007>

Erich Leese

FILE 'CAPLUS' ENTERED AT 14:40:56 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l10 full L11 1 L10

DTT T T

=> d abs bib

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

AB Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium

```
3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate
     with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from
     piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-
     ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50%
     yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful
     for the treatment of anxiety, depression, etc. Formulation is given.
ΑN
     2005:979639 CAPLUS
DN
     143:286443
     Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having
ΤI
     agonistic activity on 5-HT1A
     Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao;
IN
     Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato
     Teikoku Hormone Mfg. Co., Ltd., Japan
PA
SO
     PCT Int. Appl., 261 pp.
     CODEN: PIXXD2
     Patent
DΤ
     Japanese
LA
FAN.CNT 1
                                  DATE
                                              APPLICATION NO.
                                                                       DATE
     PATENT NO.
                          KIND
     _____
                          ----
                                 _____
                                              ______
     WO 2005082887
                                            WO 2005-JP3691
                                 20050909
                                                                       20050225
                          A1
PI
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
              SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
              RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
              MR, NE, SN, TD, TG
                                  20050909 · AU 2005-217320
     AU 2005217320
                           A1
                                                                       20050225
                                              CA 2005-2557541
     CA 2557541
                           Al
                                  20050909
                                                                       20050225
                                              EP 2005-719969
                           Al
                                  20061122
                                                                       20050225
     EP 1724267
             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                           A
                                  20070228
                                              CN 2005-80005603
                                                                       20050225
     US 2007197551
                           A1
                                  20070823
                                              US 2006-590707
                                                                       20060825
PRAI JP 2004-52040
                           Α
                                 20040226
     JP 2004-322858
                           Α
                                  20041105
                           W
                                  20050225
     WO 2005-JP3691
     MARPAT 143:286443
               THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> file reg
COST IN U.S. DOLLARS
                                                    SINCE FILE
                                                                     TOTAL
                                                         ENTRY
                                                                   SESSION
FULL ESTIMATED COST
                                                          4.24
                                                                   742.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
                                                    SINCE FILE
                                                                     TOTAL
                                                         ENTRY
                                                                   SESSION
CA SUBSCRIBER PRICE
                                                          -0.78
                                                                      -3.90
```

FILE 'REGISTRY' ENTERED AT 14:42:41 ON 19 SEP 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10590707x.str

L12 STRUCTURE UPLOADED

=> s l12 full

FULL SEARCH INITIATED 14:43:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 585 TO ITERATE

100.0% PROCESSED 585 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L13 0 SEA SSS FUL L12

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -3.90

FILE 'REGISTRY' ENTERED AT 14:45:23 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details:

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10590707v.str

L14 STRUCTURE UPLOADED

=> s l14 full

FULL SEARCH INITIATED 14:46:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 930 TO ITERATE

100.0% PROCESSED 930 ITERATIONS SEARCH TIME: 00.00.01

1 ANSWERS

L15 1 SEA SSS FUL L14

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
172.55 1088.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION 0.00 -3.90

FILE 'CAPLUS' ENTERED AT 14:46:34 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l15 full L16 • 1 L15

=> d abs bib

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$\begin{array}{c|c} & & & \\ & & &$$

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

AN 2005:979639 CAPLUS

DN 143:286443

TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A

IN Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato

PA Teikoku Hormone Mfg. Co., Ltd., Japan

SO PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

1 WO 2005082887 A1 20050909 WO 2005-JP3691 20050225

W: AE, AG, AL, AM, ĀT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

Erich Leese

```
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
               NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
                SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
           RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
               AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
                MR, NE, SN, TD, TG
                                       20050909
                                                     AU 2005-217320
      AU 2005217320
                               A1
      CA 2557541
                               A1
                                       20050909
                                                     CA 2005-2557541
                                                                                  20050225
                                                    EP 2005-719969
                                                                                  20050225
      EP 1724267
                               A1
                                       20061122
               AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                       20070228
                                                   CN 2005-80005603
                                                                                  20050225
      CN 1922171
                               Α
      US 2007197551
                               A1
                                       20070823
                                                     US 2006-590707
                                                                                  20060825
                               Α
                                       20040226
PRAI JP 2004-52040
                                       20041105
                               Α
      JP 2004-322858
                                       20050225
                               W
      WO 2005-JP3691
     MARPAT 143:286443
                THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 11
```

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION FULL ESTIMATED COST 4.24 1093.20 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -0.78 -4.68 CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 14:48:16 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10590707xii.str

STRUCTURE UPLOADED L17

=> s 117 full

FULL SEARCH INITIATED 14:49:06 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 100 TO ITERATE

100.0% PROCESSED 100 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

4 SEA SSS FUL L17

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

172.55

1265.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL SESSION

CA SUBSCRIBER PRICE

ENTRY 0.00

-4.68

FILE 'CAPLUS' ENTERED AT 14:49:15 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 118 full

L19

1 L18

=> d abs bib

L19 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

GI

$$-C \stackrel{N}{\smile} B$$

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

AN 2005:979639 CAPLUS

DN 143:286443

TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A

IN Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato

PA Teikoku Hormone Mfg. Co., Ltd., Japan

SO PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1 APPLICATION NO. PATENT NO. KIND DATE ______ - - **- -**_____ WO 2005-JP3691 20050225 WO 2005082887 A1 20050909 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20050909 AU 2005217320 Αl AU 2005-217320 20050225 20050909 CA 2005-2557541 20050225 CA 2557541 A1

EP 2005-719969 20050225 EP 1724267 20061122 A1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR CN 2005-80005603 Α 20070228 CN 1922171 20070823 US 2006-590707 20060825 A1 US 2007197551 20040226 PRAI JP 2004-52040 Α JP 2004-322858 Α 20041105 WO 2005-JP3691 W 20050225 OS MARPAT 143:286443

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg TOTAL SINCE FILE COST IN U.S. DOLLARS ENTRY SESSION 1270.93 5.18 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -5.46 -0.78 CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 14:52:15 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> Uploading C:\Program Files\Stnexp\Queries\10590707xi.str

L20 STRUCTURE UPLOADED

=> s 120 full FULL SEARCH INITIATED 14:52:36 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

L21 0 SEA SSS FUL L20

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 173.90 1444.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -5.46

FILE 'REGISTRY' ENTERED AT 14:55:00 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10590707xv.str

L22 STRUCTURE UPLOADED

=> s 122 full FULL SEARCH INITIATED 14:55:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 36 TO ITERATE

100.0% PROCESSED 36 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L23 0 SEA SSS FUL L22

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 173.90 1618.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -5.46

FILE 'REGISTRY' ENTERED AT 14:58:05 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10590707vii.str

L24 STRUCTURE UPLOADED

=> s 124 full
FULL SEARCH INITIATED 14:58:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 145 TO ITERATE

100.0% PROCESSED 145 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

L25 10 SEA SSS FUL L24

=> file caplus COST IN U.S. DOLLARS FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 172.10 1790.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -5.46

FILE 'CAPLUS' ENTERED AT 14:58:34 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the

American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 125 full

L26 1 L25.

=> d abs bib

L26 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

AN 2005:979639 CAPLUS

DN 143:286443

TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A

IN Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato

```
Teikoku Hormone Mfg. Co., Ltd., Japan
 PA
       PCT Int. Appl., 261 pp.
 SO
       CODEN: PIXXD2
DT
       Patent
LA
       Japanese
 FAN.CNT 1
                                                          APPLICATION NO.
       PATENT NO.
                                  KIND
                                            DATE
                                                                                           DATE
                                                            _____
       _____
                                   _ _ _ _
                                            _____
            2005082887

A1 20050909 WO 2005-JP3691 20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG
                                  A1 20050909 WO 2005-JP3691 20050225
       WO 2005082887
                  MR, NE, SN, TD, TG
                                                          AU 2005-217320
                                            20050909
                                  A1
       AU 2005217320
                                  A1 20050909 CA 2005-2557541
A1 20061122 EP 2005-719969
                                                                                          20050225
       CA 2557541
EP 1724267
                                                                                           20050225
                  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
                   IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                               A 20070228 CN 2005-80005603 20050225
       CN 1922171
                                                           US 2006-590707
       US 2007197551
                                  A1
                                            20070823
                                                                                           20060825
                                  A 20040226
 PRAI JP 2004-52040 .
       JP 2004-322858
WO 2005-JP3691
                                  A 
                                          20041105
                                  W
                                          20050225
       MARPAT 143:286443
RE.CNT 11
                   THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
                    ALL CITATIONS AVAILABLE IN THE RE FORMAT
 => file reg
                                                                    SINCE FILE
                                                                                          TOTAL
 COST IN U.S. DOLLARS
                                                                          ENTRY
                                                                                       SESSION
                                                                            3.77
                                                                                       1794.60
 FULL ESTIMATED COST
 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
                                                                    SINCE FILE
                                                                                         TOTAL
                                                                         ENTRY
                                                                                       SESSION
                                                                            -0.78
                                                                                         -6.24
 CA SUBSCRIBER PRICE
 FILE 'REGISTRY' ENTERED AT 15:00:01 ON 19 SEP 2007
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2007 American Chemical Society (ACS)
 Property values tagged with IC are from the ZIC/VINITI data file
 provided by InfoChem.
                                    18 SEP 2007 HIGHEST RN 947490-11-1
 STRUCTURE FILE UPDATES:
 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1
 New CAS Information Use Policies, enter HELP USAGETERMS for details.
```

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 4.05 1798.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -6.24

FILE 'REGISTRY' ENTERED AT 15:05:30 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> Uploading C:\Program Files\Stnexp\Queries\10590707vi.str

L27 STRUCTURE UPLOADED

=> s 127 full FULL SEARCH INITIATED 15:06:50 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 244 TO ITERATE

100.0% PROCESSED 244 ITERATIONS 15 ANSWERS

SEARCH TIME: 00.00.01

L28 15 SEA SSS FUL L27

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 172.55 | 1971.20 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -6.24 |

FILE 'CAPLUS' ENTERED AT 15:06:55 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 128 full L29 1 L28

=> d abs bib

L29 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$-C$$
 B
 B
 B

```
Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2
AB
     = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with
     halo, etc.; Z = O, etc.; B = moiety required for completing mono-,
     ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates
     single, double bond] were prepared For example, treatment of potassium
     3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate
     with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from
     piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-
     ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50%
     yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful
     for the treatment of anxiety, depression, etc. Formulation is given.
     2005:979639 CAPLUS
AN
DN
     143:286443
     Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having
TT
     agonistic activity on 5-HT1A
     Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki;
IN
     Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao;
     Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato
     Teikoku Hormone Mfg. Co., Ltd., Japan
PΑ
     PCT Int. Appl., 261 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                            APPLICATION NO.
                                                                     DATE
                                            _____
                         ____
                                 _____
                                                                    _____
                                           WO 2005-JP3691
PI.
     WO 2005082887
                         A1
                                 20050909
                                                                     20050225
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                 20050909
                                             AU 2005-217320
                                                                     20050225
     AU 2005217320
                          Al
     CA 2557541
                          A1
                                 20050909
                                             CA 2005-2557541
                                                                     20050225
                          A1
                                 20061122
                                             EP 2005-719969
                                                                     20050225
     EP 1724267
             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                 20070228
                                             CN 2005-80005603
                                                                     20050225
     CN 1922171
                          Α
                                             US 2006-590707
                                                                     20060825
                                 20070823
     US 2007197551
                          A1
                                 20040226
PRAI JP 2004-52040
                          Α
                                 20041105
     JP 2004-322858
                          Α
                                 20050225
     WO 2005-JP3691
                          W
     MARPAT 143:286443
              THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

SINCE FILE

ENTRY

4.24

TOTAL

SESSION

1975.44

=> file reg

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY TOTAL SESSION

CA SUBSCRIBER PRICE

-0.78

-7.02

FILE 'REGISTRY' ENTERED AT 15:08:52 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10590707xiv.str

L30 STRUCTURE UPLOADED

=> s 130 full

FULL SEARCH INITIATED 15:09:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 246 TO ITERATE

100.0% PROCESSED

246 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST

172.55

2147.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

3 SEA SSS FUL L30

SINCE FILE

TOTAL

CA SUBSCRIBER PRICE

ENTRY 0.00 SESSION -7.02

,

FILE 'CAPLUS' ENTERED AT 15:09:53 ON 19 SEP 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 131 full L32 0 L31

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 1.88 2149.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -7.02

FILE 'REGISTRY' ENTERED AT 15:12:26 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10590707xiii.str

L33 STRUCTURE UPLOADED

=> s 133 full

FULL SEARCH INITIATED 15:13:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 242 TO ITERATE

100.0% PROCESSED

242 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L34

0 SEA SSS FUL L33

Uploading C:\Program Files\Stnexp\Queries\10590707iv.str

L35 STRUCTURE UPLOADED

=> s 135 full

FULL SEARCH INITIATED 15:18:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 5952 TO ITERATE

100.0% PROCESSED

5952 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

1.36

0 SEA SSS FUL L35

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

348.70 2498.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

CA SUBSCRIBER PRICE

ENTRY SESSION

0.00 -7.02

FILE 'REGISTRY' ENTERED AT 15:19:47 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

18 SEP 2007 HIGHEST RN 947490-11-1 STRUCTURE FILE UPDATES: DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

.=>

Uploading C:\Program Files\Stnexp\Queries\10590707iii.str

STRUCTURE UPLOADED L37

=> s 137 full

FULL SEARCH INITIATED 15:20:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 163 TO ITERATE

100.0% PROCESSED

163 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

1 SEA SSS FUL L37 L38

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 172.10 2670.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL SESSION ENTRY 0.00 -7.02

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 15:20:38 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 138 full 1 L38 L39

=> d abs bib

L39 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

AN 2005:979639 CAPLUS

DN 143:286443

TI Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A

IN Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto, Yoshiko; Yamamoto, Norio; Ogawa, Chisato

PA Teikoku Hormone Mfg. Co., Ltd., Japan

SO PCT Int. Appl., 261 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT | MO | | | KIN | П | DATE | | | A DDT. | ፐሮአጥ | ION I | NΤΟ | | D | ATE | | |
|----|---------|------|-----|-----|-----|-----|------|------|-----|--------|------|-------|------|-----|-----|------|-----|----|
| | PAIGNI | 110. | | | KIN | U | DATE | | • | MEFU | LCMI | TOM | .vo. | | יכו | 7112 | | |
| | | | | | | - | | | | | | | | | _ | | | |
| ΡI | WO 2005 | 0828 | 87 | | A1 | | 2005 | 0909 | 1 | WO 2 | 005- | JP36 | 91 | | 2 | 0050 | 225 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | ŬĠ, | US, | UZ, | VC, | VN, | YÜ, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW; | AM, | |
| | | AZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | |
| | | MR, | NE, | SN, | TD, | TG | • | | | | | | | | | | | |
| | AU 2005 | 2173 | 20 | | A1 | | 2005 | 0909 | | AU 2 | 005- | 2173 | 20 | | 2 | 0050 | 225 | |
| | CA 2557 | 541 | | | A1 | | 2005 | 0909 | | CA 2 | 005- | 2557 | 541 | | 2 | 0050 | 225 | |

20061122 EP 2005-719969 20050225 EP 1724267 A1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR A 1 A1 20070228 CN 2005-80005603 20050225 CN 1922171 20070823 US 2006-590707 20060825 US 2007197551 PRAI JP 2004-52040 Α 20040226 JP 2004-322858 WO 2005-JP3691 Α 20041105 W 20050225 MARPAT 143:286443

RE CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg . COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 9.88 2680.55 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION -0.78 -7.80 CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 15:29:44 ON 19 SEP 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1 DICTIONARY FILE UPDATES: 18 SEP 2007 HIGHEST RN 947490-11-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10590707i.str

L40 STRUCTURE UPLOADED

=> s 140 full FULL SEARCH INITIATED 15:30:23 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6029 TO ITERATE

100.0% PROCESSED 6029 ITERATIONS SEARCH TIME: 00.00.01 105 ANSWERS

L41 105 SEA SSS FUL L40

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 172.10 2852.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -7.80

FILE 'CAPLUS' ENTERED AT 15:30:31 ON 19 SEP 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 18 Sep 2007 (20070918/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 141 full

L42 3 L41

=> d ibib abs hitstr tot

L42 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:729635 CAPLUS

DOCUMENT NUMBER: 147:72778

TITLE: Preparation of quinazolinone derivatives and related

analogs as antiproliferative agents

INVENTOR(S): Bergnes, Gustave

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT/INFORMATION:

APPLICATION NO. DATE KIND PATENT NO. DATE ____ _____ ______ _____ WO 2004018058 A2 20040304 A3 20040701 A2 20040304 WO 2003-US26093 20030820 WO 2004018058 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

```
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
              PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
              TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
              FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003262747
                            A1
                                   20040311
                                                AU 2003-262747
                                                                         20030820
     EP 1539180
                                   20050615
                                                EP 2003-793179
                                                                         20030820
                            A2
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005536553
                            Т
                                   20051202
                                                JP 2004-531141
                                                                         20030820
PRIORITY APPLN. INFO.:
                                                US 2002-404864P
                                                                      Ρ
                                                                         20020821
                                                WO 2003-US26093
                                                                      W
                                                                         20030820
```

OTHER SOURCE(S):

MARPAT 147:72778

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [R1-4 independently = H, OH, (un) substituted alkyl, etc.; AB R5 = H, (un)substituted alkyl, aryl, or aralkyl; R6 and R9 independently = H, (un) substituted alkyl, aryl, etc.; R7 = (un) substituted alkyl, aryl or aralkyl; R8 = H, (un) substituted alkyl, aryl or aralkyl; n = 1 or 2], and their pharmaceutically acceptable salts, are prepared and disclosed as antiproliferative agents by modulation of KSP (a mitotic kinesin) activity. Thus, e.g., II was prepared by substitution of 3-benzyl-2-(1-bromopropyl)-7-chloro-3H-quinazolin-4-one with 3-p-tolylpiperazine-1-carboxylic acid tert-Bu ester. Bioassays are described and the compds. of the invention were stated to show activity. 941712-02-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of quinazolone derivs. and related analogs as antiproliferative agents)

RN 941712-02-3 CAPLUS

4(3H)-Quinazolinone, 7-chloro-2-[1-[4-[4-(1-methylethyl)-2-pyridinyl]-1-CN piperazinyl]propyl]-3-(phenylmethyl)- (CA INDEX NAME)

L42 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:979639 CAPLUS

DOCUMENT NUMBER:

143:286443

TITLE:

Preparation of pyrimidine derivatives as 5-HT3 receptor antagonists having agonistic activity on

5-HT1A

INVENTOR(S):

Sato, Michitaka; Matsui, Teruaki; Asagarasu, Akira; Hayashi, Hiroyuki; Araki, Seiichi; Tamaoki, Satoru; Takahashi, Nobuyuki; Yamauchi, Yukinao; Yamamoto,

Yoshiko; Yamamoto, Norio; Ogawa, Chisato Teikoku Hormone Mfg. Co., Ltd., Japan

PATENT ASSIGNEE(S):

PCT Int. Appl., 261 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PAT | ENT 1 | NO. | | | KINI | | | | | | | | | | D | ATE | | |
|-------|-----|-------|------|------|-----|------|-----|--------|------|-----|------|------|-------|------|-----|------|-------|-----|----|
| | WO | 2005 | 0828 | 37 | | | | 2005 | | | WO 2 | | | | | 20 | 00502 | 225 | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒŻ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | .DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | | • | | • | | ID, | | | | | | | | | | | |
| | | | | | | | | LV, | | | | | | | | | | | |
| | | | | | | | | PL, | | | | | | | | | | | |
| | | | | | | | | TT, | | | | | | | | | | | zw |
| | | RW: | | | | | | · MW , | | | | | | | | | | | |
| | | | ΑZ, | BY, | KG, | KΖ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | | | | | | | GR, | | | | | | | | | | | |
| | | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ΜL, | |
| | | | MR, | ΝE, | SN, | TD, | | | | | | | | | | | | | |
| | ΑU | 2005 | 2173 | 20 | | A1 | | 2005 | | | | | | | | | | | |
| | CA | 2557 | 541 | | | Al | | 2005 | 0909 | 1 | CA 2 | 005- | 2557. | 541 | | 2 | 0050 | 225 | |
| • | ΕP | 1724 | 267 | | | A1 | | 2006 | 1122 | | EP 2 | 005- | 7199 | 69 | | 2 | 0050 | 225 | |
| | | R: | | | | | | CZ, | | | | | | | | | HU, | ΙE, | |
| | | | | | | | | MC, | | | | | | | | | | | |
| | CN | 1922 | 171 | | | A | | 2007 | 0228 | 1 | CN 2 | 005- | 8000 | 5603 | | 2 | 0050 | 225 | |
| | US | 2007 | 1975 | 51 | | A1 | | 2007 | 0823 | | | | | | | | | | |
| PRIOR | (TI | APP | LN. | INFO | . : | | | | | | JP 2 | 004- | 5204 | 0 | | A 20 | 0040 | 226 | |
| | | | | | | | | | | 1 | JP 2 | 004- | 3228 | 58 | | A 2 | 0041 | 105 | |
| | | | | | | | | | | , | WO 2 | 005- | JP36 | 91 | . 1 | W 2 | 0050 | 225 | |

OTHER SOURCE(S):

MARPAT 143:286443

GI

Title compds. I [ring A = carbocyclic group, etc.; X1 = H, amino, etc.; X2 = H, alkyl; Y = bond, etc.; n = 0-4; Ar = optionally substituted II with halo, etc.; Z = O, etc.; B = moiety required for completing mono-, ploy-heterocyclic ring containing N together with N-C-Z; dotted line indicates single, double bond] were prepared For example, treatment of potassium 3-amino-5,6-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-2-thiolate with 2-[4-(3-chloropropyl)piperazin-1-yl]quinoline, e.g., prepared from piperazine in 2 steps, afforded 3-amino-5,6-dimethyl-2-[3-(4-quinolin-2-ylpiperazin-1-yl)propylthio]-3H-thieno[2,3-d]pyrimidin-4-one (III) in 50% yield. In 5-HT3 receptor affinity assay (in vitro), compound III exhibited the antagonistic activity of 94% at 10-7 M. Compds. I are claimed useful for the treatment of anxiety, depression, etc. Formulation is given.

TT 864385-97-7P 864385-98-8P 864386-03-8P 864386-04-9P 864386-05-0P 864386-07-2P 864386-09-4P 864386-10-7P 864386-11-8P 864386-13-0P 864386-14-1P 864386-15-2P 864386-16-3P 864386-18-5P 864386-19-6P 864386-34-5P 864386-23-2P 864386-28-7P 864386-34-5P 864386-35-6P 864386-45-8P 864386-46-9P 864386-47-0P 864386-49-2P 864386-50-5P 864386-90-3P 864386-91-4P 864386-92-5P 864386-93-6P 864386-95-8P 864386-96-9P 864386-97-0P 864386-99-2P

864387-00-8P 864387-01-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as 5-HT3 receptor antagonists having agonistic activity on 5-HT1A for treatment of anxiety, depression, etc.)

RN 864385-97-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl](CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864385-98-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(4-methyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-03-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(3,4-dimethyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864386-04-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2,3-dihydro-1H-cyclopenta[c]quinolin-4-yl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-05-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(7,8,9,10-tetrahydro-6-phenanthridinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 N
 $CH_2)$
 4

RN 864386-07-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-(4-pyrrolo[1,2-a]quinoxalin-4-yl-1-piperazinyl)butyl]- (CA INDEX NAME)

RN 864386-09-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-7-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864386-10-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-bromo-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-11-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6,7,8-trimethoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 OMe OMe OMe

RN 864386-13-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-14-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864386-15-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864386-16-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(5-methoxy-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-18-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864386-19-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-5-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 N
 $C1$
 N
 $CH_2)_4$
 N

RN 864386-21-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-fluoro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 864386-23-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-7-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$H_2N$$
 N
 N
 $CH_2)_4$
 N
 M
 M

RN 864386-28-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(4,8-dimethyl-2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$NH_2$$
 NH_2
 NH_2

RN 864386-34-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-8-methoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-35-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6,7-dimethoxy-2-[4-[4-(2-quinolinyl)-1-

piperazinyl]butyl] - (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 864386-45-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-chloro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-46-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-methoxy-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-47-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-7-(trifluoromethyl)- (CA INDEX NAME)

$$H_2N$$
 N
 CF_3

RN 864386-49-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6-fluoro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 864386-50-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-7-fluoro-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 864386-90-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-(1-methylethyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-91-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864386-92-5 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-methoxyphenyl)-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$(CH_2)_4 - N$$

$$N - R$$

RN 864386-93-6 CAPLUS

CN 4(3H)-Quinazolinone, 5-chloro-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 864386-95-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]-(CA INDEX NAME)

Me N (CH₂)
$$_4$$
 N

RN 864386-96-9 CAPLUS

CN 4(3H)-Quinazolinone, 3-ethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl](CA INDEX NAME)

RN 864386-97-0 CAPLUS

CN 4(3H)-Quinazolinone, 6,7-dimethoxy-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864386-99-2 CAPLUS

CN 4(3H)-Quinazolinone, 3,7-dimethyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

RN 864387-00-8 CAPLUS

CN 4(3H)-Quinazolinone, 6-chloro-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

Me N Cl
$$N - (CH_2)_4$$
 N

RN 864387-01-9 CAPLUS

CN 4(3H)-Quinazolinone, 6-bromo-3-methyl-2-[4-[4-(2-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

Me N
$$(CH_2)_4$$
 N N

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:465983 CAPLUS

DOCUMENT NUMBER:

137:47214

TITLE:

Preparation of 2-substituted-4(3H)-quinazolinone

derivatives as PARP inhibitors

INVENTOR(S):

Matsuoka, Nobuya; Iwashita, Akinori; Yamazaki, Shunji; Miyake, Hiroshi; Ohkubo, Mitsuru; Kamijo, Kazunori; Nakanishi, Isao; Hattori, Kouji; Kido, Yoshiyuki;

Ishida, Junya; Yamamoto, Hirofumi

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 91 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:]

PATENT INFORMATION:

| PA | TENT : | NO. | | | KINI |) | DATE | | | | | | | | D | ATE | |
|---------|--------|-------|--------|-----|------|------|------|-------|-----|------|--------|-------|-----|-----|------|-------|-----|
| WO | 2002 | 0481 | 17 | | A1 | - | 2002 | 0620 | 1 | | 2001- | | | | 20 | 00112 | 205 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚĖ, | KG, | KR, | ΚZ, | LC, | LK, | LR, | LS, |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | PL, |
| | | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | ŪĠ, |
| | | US, | UZ, | VN, | YU, | ·ZA, | ZM, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | TJ, | TM |
| | RW: | GH, | GM, | KΕ, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AT, | BE, | CH, |
| | | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| CA | 2431 | 406 | | | A1 | | 2002 | 0620 | 1 | CA 2 | 2001- | 24314 | 406 | | 2 | 00112 | 205 |
| AU | 2002 | 02104 | 47 | | A5 | | 2002 | 0624 | | AU 2 | 2002- | 2104 | 7 | | 20 | 00112 | 205 |
| EP | 1355 | 888 | | | A1 | | 2003 | 1029 | | EP 2 | 2001- | 2705 | 31 | | 20 | 00112 | 205 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | ΝL, | SE, | MC, | PT, |
| | | | | | | | RO, | | | | | | | | | | |
| JP | 2004 | 5155 | 44 | | T | | 2004 | 0527 | | JP 2 | 2002- | 54964 | 18 | | 20 | 00112 | 205 |
| US | 2004 | 0776 | 67 | | A1 | | 2004 | 0422 | 1 | US 2 | 2003 - | 43394 | 47 | | 20 | 00306 | 509 |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | AU 2 | 2000- | 2016 | | 7 | A 20 | 00012 | 211 |
| | | | | | | | | | | WO 2 | 2001- | JP10 | 601 | 7 | v 20 | 00112 | 205 |
| OTHER S | OURCE | (S): | | | MAR | PAT | 137: | 47214 | 1 | | | | | | | | |

AB Title compds. I [R1 = (un) substituted cyclic amino group(s); R2 = substituent; n = 0-4; L = alkylene, alkenylene] were prepared For instance, 2-amino-6-chlorobenzamide was coupled to 4-pentenoyl chloride (THF, i-PrNEt2, 5°C, 30 min) and the product treated with 1N NaOH to afford 2-(3-butenyl)-5-chloro-4(3H)-quinazolinone. This intermediate was oxidatively cleaved (dioxane, OsO4, t-BuOH; NaIO4) effecting cyclization to 8-chloro-1-hydroxy-2,3-dihydropyrrolo[2,1-b]quinazoline-9(1H)-one isolated as a colorless powder. This was used to alkylate 1,2,3,6-tetrahydro-4-phenylpyridine (CH3CNaq, HOAc, NaCNBH3) to afford II. Selected compds. of the invention had IC50 < 0.5 μM for poly(ADP-ribose)polymerase (PARP). I are useful for the treatment of NMDA- and NO-induced toxicity, tissue damage resulting from apoptosis,

TT 437997-62-1P 437997-63-2P 437997-64-3P 437997-65-4P 437997-66-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of 2-[ω -substituted(hetero)aryl-alkyl]substituted-4(3H)-quinazolinone derivs.)

RN 437997-62-1 CAPLUS

CN 4(1H)-Quinazolinone, 2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
N \\
N \\
N
\end{array}$$

RN 437997-63-2 CAPLUS

CN 4(1H)-Quinazolinone, 8-chloro-2-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & H & N & N & N \\
N & N & N & N & N
\end{array}$$

RN 437997-64-3 CAPLUS

CN 4(1H)-Quinazolinone, 2-[3-[4-(4-pyridinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H \\
N \\
O
\end{array}$$

$$\begin{array}{c}
N \\
N \\
O
\end{array}$$

RN 437997-65-4 CAPLUS

CN 4(1H)-Quinazolinone, 8-chloro-2-[3-[4-(4-pyridinyl)-1-piperazinyl]propyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & H & \\ N & & \\ & N & \\ & O & \\ \end{array}$$

RN 437997-66-5 CAPLUS

CN 4(1H)-Quinazolinone, 2-[3-(4-pyrazinyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

$$(CH_2)_3 - N N$$

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| => d | his |
|------------|---|
| | (FILE 'HOME' ENTERED AT 13:54:18 ON 19 SEP 2007) |
| L1 L2 | FILE 'REGISTRY' ENTERED AT 14:09:32 ON 19 SEP 2007 STRUCTURE UPLOADED 152 S L1 FULL |
| L3 | FILE 'CAPLUS' ENTERED AT 14:10:41 ON 19 SEP 2007 3 S L2 FULL |
| L4 L5 | FILE 'REGISTRY' ENTERED AT 14:20:22 ON 19 SEP 2007 STRUCTURE UPLOADED 1 S L4 FULL |
| | FILE 'CAPLUS' ENTERED AT 14:20:55 ON 19 SEP 2007 |
| | FILE 'REGISTRY' ENTERED AT 14:21:14 ON 19 SEP 2007 |
| | FILE 'CAPLUS' ENTERED AT 14:21:19 ON 19 SEP 2007 S L4 |
| L6 | FILE 'REGISTRY' ENTERED AT 14:21:32 ON 19 SEP 2007 1 S L4 FULL |
| L7 L8 | FILE 'CAPLUS' ENTERED AT 14:21:32 ON 19 SEP 2007 1 S L6 FULL 1 S L7 FULL |
| L9 L10 | FILE 'REGISTRY' ENTERED AT 14:23:13 ON 19 SEP 2007 STRUCTURE UPLOADED 2 S L9 FULL |
| L11 | FILE 'CAPLUS' ENTERED AT 14:40:56 ON 19 SEP 2007 1 S L10 FULL |
| L12 L13 | FILE 'REGISTRY' ENTERED AT 14:42:41 ON 19 SEP 2007 STRUCTURE UPLOADED 0 S L12 FULL |
| L14 L15 | FILE 'REGISTRY' ENTERED AT 14:45:23 ON 19 SEP 2007 STRUCTURE UPLOADED 1 S L14 FULL |
| L16 | FILE 'CAPLUS' ENTERED AT 14:46:34 ON 19 SEP 2007 1 S L15 FULL |
| L17 L18 | FILE 'REGISTRY' ENTERED AT 14:48:16 ON 19 SEP 2007 STRUCTURE UPLOADED 4 S L17 FULL |
| 7 7 0 | FILE 'CAPLUS' ENTERED AT 14:49:15 ON 19 SEP 2007 |

<12/04/2007>

L20

1 S L18 FULL

STRUCTURE UPLOADED
0 S L20 FULL

FILE 'REGISTRY' ENTERED AT 14:52:15 ON 19 SEP 2007

| L22 L23 | | 'REGISTRY' ENTERED AT 14:55:00 ON 19 SEP 2007 STRUCTURE UPLOADED 0 S L22 FULL |
|-----------------------------|----------------|--|
| L24 L25 | | 'REGISTRY' ENTERED AT 14:58:05 ON 19 SEP 2007 STRUCTURE UPLOADED 10 S L24 FULL |
| L26 | | 'CAPLUS' ENTERED AT 14:58:34 ON 19 SEP 2007 1 S L25 FULL |
| | FILE | 'REGISTRY' ENTERED AT 15:00:01 ON 19 SEP 2007 |
| L27 L28 | | 'REGISTRY' ENTERED AT 15:05:30 ON 19 SEP 2007 STRUCTURE UPLOADED 15 S L27 FULL |
| L29 | FILE | 'CAPLUS' ENTERED AT 15:06:55 ON 19 SEP 2007 1 S L28 FULL |
| L30 | | 'REGISTRY' ENTERED AT 15:08:52 ON 19 SEP 2007 STRUCTURE UPLOADED |
| L31 | | 3 S L30 FULL |
| L31 | FILE | 3 S L30 FULL 'CAPLUS' ENTERED AT 15:09:53 ON 19 SEP 2007 0 S L31 FULL |
| L32 L33 | FILE FILE | 'CAPLUS' ENTERED AT 15:09:53 ON 19 SEP 2007 |
| L32 L33 L34 L35 | FILE FILE | 'CAPLUS' ENTERED AT 15:09:53 ON 19 SEP 2007 0 S L31 FULL 'REGISTRY' ENTERED AT 15:12:26 ON 19 SEP 2007 |
| L32 L33 L34 L35 L36 | FILE FILE FILE | 'CAPLUS' ENTERED AT 15:09:53 ON 19 SEP 2007 0 S L31 FULL 'REGISTRY' ENTERED AT 15:12:26 ON 19 SEP 2007 STRUCTURE UPLOADED 0 S L33 FULL STRUCTURE UPLOADED 0 S L35 FULL 'REGISTRY' ENTERED AT 15:19:47 ON 19 SEP 2007 STRUCTURE UPLOADED |
| L32 L33 L34 L35 L36 L37 L38 | FILE FILE FILE | 'CAPLUS' ENTERED AT 15:09:53 ON 19 SEP 2007 0 S L31 FULL 'REGISTRY' ENTERED AT 15:12:26 ON 19 SEP 2007 STRUCTURE UPLOADED 0 S L33 FULL STRUCTURE UPLOADED 0 S L35 FULL 'REGISTRY' ENTERED AT 15:19:47 ON 19 SEP 2007 STRUCTURE UPLOADED 1 S L37 FULL 'CAPLUS' ENTERED AT 15:20:38 ON 19 SEP 2007 |